(19) World Intellectual Property Organization

International Bureau



. | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1

(43) International Publication Date 27 January 2005 (27.01.2005)

PCT

(10) International Publication Number WO 2005/007635 A3

- (51) International Patent Classification⁷: C07D 261/12, 261/08, 307/79, 333/54, C07C 43/215, 43/23, C07D 231/56, 307/71, 333/44, C07F 9/655, 9/6553, 7/18, A61K 31/09, 31/41, 31/343
- (21) International Application Number:

PCT/IT2004/000373

- (22) International Filing Date: 6 July 2004 (06.07.2004)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

RM 2003 A 000355

18 July 2003 (18.07.2003) I

- (71) Applicant (for all designated States except US): SIGMA-TAU INDUSTRIE FARMACEUTICHE RIUNITE S.p.A. [IT/IT]; Viale Shakespeare, 47, I-00144 Roma (IT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): SIMONI, Daniele [IT/IT]; c/o Simgma-Tau Industrie Farmaceutiche Riunite S.p., A., Via Pontina, Km. 30,400, I-00040 Pomezia (IT). ROMAGNOLI, Romeo [IT/IT]; c/o Simgma-Tau Industrie Farmaceutiche Riunite S.p., A., Via Pontina, Km. 30,400, I-00040 Pomezia (IT). GIANNINI, Giuseppe [IT/IT]; c/o Simgma-Tau Industrie Farmaceutiche Riunite S.p., A., Via Pontina, Km. 30,400, I-00040 Pomezia RM (IT). ALLOATTI, Domenico [IT/IT]; c/o Simgma-Tau Industrie Farmaceutiche Riunite S.p., A., Via Pontina, Km. 30,400, I-00040 Pomezia RM (IT). PISANO, Claudio [IT/IT]; c/o Sigma-Tau Industrie Farmaceutiche Riunite S.p., A., Via Pontina, Km. 30,400, I-00040 Pomezia RM (IT).

- (74) Agent: SPADARO, Marco; Cavattoni Raimondi, Viale dei Parioli, 160, I-00197 Roma (IT).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

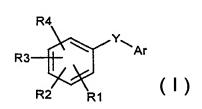
- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 11 August 2005
- (15) Information about Correction:

Previous Correction:

see PCT Gazette No. 19/2005 of 12 May 2005, Section II

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: COMBRETASTATIN DERIVATIVES WITH CYTOTOXIC ACTION



(57) Abstract: The invention described herein relates to new combretastatin derivatives obtained by total synthesis and having the following general formula (I) in which the groups are as defined in the description here below. Said compounds, though chemically related to the structure of cis/trans-combretastatin, do not always bind tubulin, but nevertheless exhibit cytotoxic activity of interest in the oncological field as anticancer and/or antiangiogenic agents.